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### (54) Title: UREA DERIVATIVES AND THEIR USE AS ACAT-INHIBITORS

#### (57) Abstract

Urea derivatives of formula (I), wherein R1 is a group of formula (1) (in which R4 is aryl which may have suitable substituent(s), or heterocyclic group which may have suitable substituent(s), and Y is bond, lower alkylene, -S-, -O-, (a), -CH-, -CONH-, (b), (in which R7 is lower alkyl), -NHSO2-, -SO2NHCO--SO2NH--CONHSO<sub>2</sub>-); thiazolyi. imidazolyl, pyrazolyl, pyridyl,

$$R^{1}-(CH_{2})_{n}-N-C-NH-R^{3}$$
 (I)

thienyl, furyl, isoxazolyl or chromanyl, each of which may have suitable substituent(s); R2 is lower alkyl, lower alkoxy(lower)alkyl, cycloalkyl, ar(lower)alkyl which may have suitable substituent(s), heterocyclic group or heterocyclic(lower)alkyl, R3 is aryl which may have suitable substituent(s) or heterocyclic group which may have suitable substituent(s), and n is 0 or 1, and a pharmaceutically acceptable salt thereof which are useful as a medicament in the treatment of hypercholesterolemia, hyperlipidemia and atherosclerosis.

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- 210 -C L A I M S

1. A compound of the formula :

$$R^{1}-(CH_{2})_{n}-N-C-NH-R^{3}$$

wherein

R<sup>1</sup> is a group of the formula :

(in which

R<sup>4</sup> is aryl which may have suitable substituent(s), or heterocyclic group which may have suitable substituent(s), and

Y is bond, lower alkylene, -S-, -O-, -C-,

=CH-, -CONH-, -N-CO-, (in which R<sup>7</sup> is lower

R<sup>7</sup> alkyl),

-NHSO<sub>2</sub>-, -SO<sub>2</sub>NH-, -SO<sub>2</sub>NHCO- or -CONHSO<sub>2</sub>-);

cr

thiazolyl, imidazolyl, pyrazolyl, pyridyl, thienyl, furyl, isoxazolyl or chromanyl, each of which may have suitable substituent(s);

R<sup>2</sup> is lower alkyl, lower alkoxy(lower)alkyl, cycloalkyl, ar(lower)alkyl which may have suitable substituent(s), heterocyclic group or heterocyclic(lower)alkyl,

R<sup>3</sup> is aryl which may have suitable substituent(s) or heterocyclic group which may have suitable

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substituent(s), and
n is 0 or 1,
and a pharmaceutically acceptable salt thereof.

5 2. A compound of claim 1, wherein R<sup>1</sup> is a group of the formula:

(in which

R<sup>4</sup> is phenyl which may have 1 to 3 substituent(s) selected from the group consisting of halogen, lower alkyl, di(lower)alkylamino, protected amino, cyano, heterocyclic group which may have mono(or di or tri)—ar(lower)alkyl, hydroxy, protected hydroxy and mono(or di or tri)halo(lower)alkyl; or thienyl, pyrazolyl, imidazolyl, triazolyl, pyridyl, pyrrolyl, tetrazolyl, oxazolyl, thiazolyl, oxadiazolyl, piperazinyl, thiazolidinyl or methylenedioxyphenyl, each of which may have 1 to 3 substituent(s) selected from the group consisting of lower alkyl, mono(or di or tri)ar(lower)alkyl and oxo;

Y is bond, lower alkylene, -S-, -O-, -C-, =CH-, -CONH-, -N-CO- (in which R<sup>7</sup> is lower alkyl), R<sup>7</sup>
-NHSO<sub>2</sub>-, -SO<sub>2</sub>NH-, -SO<sub>2</sub>NHCO- or -CONHSO<sub>2</sub>-); or thiazolyl, imidazolyl, pyrazolyl, pyridyl,